

INTERNATIONAL SEARCH REPORT

International Application No

PCT/CH2004/000584

A. CLASSIFICATION OF SUBJECT MATTER
 IPC 7 C07D405/04 C07H15/00 C07D205/08

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHEDMinimum documentation searched (classification system followed by classification symbols)
 IPC 7 C07D C07H

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the International search (name of data base and, where practical, search terms used)

EPO-Internal, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages.	Relevant to claim No.
X	MUKERJEE A K ET AL: "RAPID SYNTHESIS OF DEHYDROPEPTIDES CARRYING A BETA-LACTAM MOIETY" JOURNAL OF CHEMICAL RESEARCH. SYNOPSIS, LONDON, GB, no. 7, 1993, pages 280-281, XP009027094 ISSN: 0308-2342 the whole document -/-	1-3, 7-9

Further documents are listed in the continuation of box C.

Patent family members are listed in annex.

* Special categories of cited documents:

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Date of the actual completion of the international search

28 October 2004

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Name and mailing address of the ISA

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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	VAN HEEK, MARGARET ET AL: "In vivo metabolism-based discovery of a potent cholesterol absorption inhibitor, SCH58235, in the rat and rhesus monkey through the identification of the active metabolites of SCH48461" JOURNAL OF PHARMACOLOGY AND EXPERIMENTAL THERAPEUTICS (1997), 283(1), 157-163, 1997, XP002275919 figure 1	1-3, 7-9, 13-17
X	WU, GEORGE GUANGZHONG: "A Concise Asymmetric Synthesis of A.beta.-Lactam-Based Cholesterol Absorption Inhibitor" ORGANIC PROCESS RESEARCH & DEVELOPMENT (2000), 4(4), 298-300, 2000, XP002275920 the whole document	1-3, 7-9, 13-17
X	UDUPI, R.H. ET AL: "Synthesis of 1-(2-carboxy-5-nitrophenyl)-3,4-substituted azetidin-2-ones as antiinflammatory and antimicrobial agents" INDIAN JOURNAL OF HETEROCYCLIC CHEMISTRY (1996), 6(2), 99-102, 1996, XP009027043 the whole document	1-3
X	CLADER, JOHN W. ET AL: "2-Azetidinone Cholesterol Absorption Inhibitors: Structure-Activity Relationships on the Heterocyclic Nucleus" JOURNAL OF MEDICINAL CHEMISTRY (1996), 39(19), 3684-3693, 1996, XP002275922 the whole document	1-3, 7-9, 13-17
X	OTTO H-H ET AL: "STEREOCHEMIE DER DEHYDRATISIERUNG UND HALOGENIERUNG DER ALPHAR- UND ALPHAS-ISOMERE VON 3-(ALPHA-HYDROXYBENZYL)-1,4-DIPHENYL-2-AZETIDINONEN STEREOCHEMISTRY OF DEHYDRATION AND HALOGENATION OF ALPHAR AND ALPHAS ISOMERIC 3-(ALPHA-HYDROXYBENZYL)-1,4-DIPHENYL LIEBIGS ANNÄLEN DER CHEMIE, VERLAG CHEMIE GMBH. WEINHEIM, DE, no. 7, 1983, pages 1162-1168, XP001179959 ISSN: 0170-2041 the whole document	1-3, 7-9
		-/-

INTERNATIONAL SEARCH REPORT

International Application No

PCT/CH2004/000584

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	BROWNE, MARGARET ET AL: "Trans diastereoselective synthesis of 3-alkyl substituted beta-lactams via the acid chloride-imine reaction of nonactivated acid chlorides" TETRAHEDRON LETTERS (1995), 36(15), 2555-8, 1995, XP004028248 the whole document	1-3, 7-9, 13-17
X	BOSE, AJAY K. ET AL: "Studies on lactams. 101. Microwave-assisted rapid synthesis of alpha-amino-beta-lactams" TETRAHEDRON LETTERS (1996), 37(39), 6989-6992, 1996, XP004030806 the whole document	1-3, 7-9
X	VAN LEUSEN, ALBERT M. ET AL: "Chemistry of sulfonylmethyl isocyanides. 12. Base-induced cycloaddition of sulfonylmethyl isocyanides to carbon,nitrogen double bonds. Synthesis of 1,5-disubstituted and 1,4,5-trisubstituted imidazoles from aldimines and imidoyl chlorides" JOURNAL OF ORGANIC CHEMISTRY (1977), 42(7), 1153-9, 1977, XP002275923 the whole document	1-3, 7, 9
X	BURNETT, DUANE A. ET AL: "Synthesis of 3-(1-hydroxyethyl)-2-azetidinones via ester-imine condensations" JOURNAL OF ORGANIC CHEMISTRY (1985), 50(25), 5120-3, 1985, XP002275924 the whole document	1-3, 7-9
X	BURNETT, DUANE A. ET AL: "2-Azetidinones as Inhibitors of Cholesterol Absorption" JOURNAL OF MEDICINAL CHEMISTRY (1994), 37(12), 1733-6, 1994, XP002275925 the whole document	1, 2, 5-10
X	ROSENBLUM, STUART B. ET AL: "Synthesis of 3-arylpropenyl, 3-arylpropynyl and 3-arylpropyl 2-azetidinones as cholesterol absorption inhibitors: application of the palladium-catalyzed arylation of alkenes and alkynes" TETRAHEDRON (2000), 56(31), 5735-5742, 2000, XP004213804 the whole document	1-3, 7-9, 13-17

-/-

INTERNATIONAL SEARCH REPORT

International Application No

PCT/CH2004/000584

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	KIRKUP M P ET AL: "(-)-SCH 57939: synthesis and pharmacological properties of a potent, metabolically stable cholesterol absorption inhibitor" BIOORGANIC & MEDICINAL CHEMISTRY LETTERS, OXFORD, GB, vol. 6, no. 17, 3 September 1996 (1996-09-03), pages 2069-2072, XP004135657 ISSN: 0960-894X the whole document	1-3,7-9, 13-17
X	OTTO H-H ET AL: "DARSTELLUNG UND STEREOCHEMIE VON 3-(ALPHA-HYDROXYBENZYL)-1,4-DIPHENYL-2-AZETIDINONEN SYNTHESIS AND STEREOCHEMISTRY OF 3-(ALPHA-HYDROXYBENZYL)-1,4-DIPHENYL-2-AZETIDINONES" LIEBIGS ANNALEN DER CHEMIE, VERLAG CHEMIE GMBH. WEINHEIM, DE, 1983, pages 1152-1161, XP001012817 ISSN: 0170-2041 the whole document	1-3,7-9
X	ROSENBLUM, STUART B. ET AL: "Discovery of 1-(4-Fluorophenyl)-(3R)-'3-(4-fluorophenyl)-(3S)-hydroxypropyl-(4S)-(4-hydroxyphenyl)-2-az etidinone (SCH 58235): A Designed, Potent, Orally Active Inhibitor of Cholesterol Absorption" JOURNAL OF MEDICINAL CHEMISTRY (1998), 41(6), 973-980, 1998, XP002275926 the whole document	1-3,7-9, 13-17
X	BURNETT, DUANE A. ET AL: "Synthesis of fluorescent biochemical tools related to the 2-azetidinone class of cholesterol absorption inhibitors" BIOORGANIC & MEDICINAL CHEMISTRY LETTERS (2002), 12(3), 315-318, 2002, XP002275927 the whole document	1-3,7-9, 13-17
X	BURNETT, DUANE A. ET AL: "Synthesis of iodinated biochemical tools related to the 2-azetidinone class of cholesterol absorption inhibitors" BIOORGANIC & MEDICINAL CHEMISTRY LETTERS (2002), 12(3), 311-314, 2002, XP002275928 the whole document	1-3,7-9, 13-17
X	US 5 631 365 A (ROSENBLUM STUART B) 20 May 1997 (1997-05-20) the whole document	1-3,7-9, 13-17
-/-		

INTERNATIONAL SEARCH REPORT

International Application No

PCT/CH2004/000584

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	EP 0 524 595 A (SCHERING CORP) 27 January 1993 (1993-01-27) the whole document -----	1-3,7-9, 13-17
X	WO 00/63703 A (SCHERING CORP) 26 October 2000 (2000-10-26) the whole document -----	1-3,7-9, 13-17
X	US 5 633 246 A (DUGAR SUNDEEP ET AL) 27 May 1997 (1997-05-27) the whole document -----	1-3,7-9, 13-17
X	WO 02/50090 A (SCHERING CORP) 27 June 2002 (2002-06-27) the whole document -----	1-3,7-9, 13-17
X	DE 36 20 467 A (CIBA GEIGY AG) 2 January 1987 (1987-01-02) the whole document -----	1-3,7-9, 13-17
X	WO 03/026643 A (SCHERING CORP) 3 April 2003 (2003-04-03) * the whole document, in particular page 42 formula X, XI *	1-3,7-9, 13-17
X	US 5 756 470 A (VAN HEEK MARGARET ET AL) 26 May 1998 (1998-05-26) the whole document -----	1-17
X	WO 97/16455 A (SCHERING CORP) 9 May 1997 (1997-05-09) the whole document -----	1-17
X	US 2003/119428 A1 (DAVIS HARRY R ET AL) 26 June 2003 (2003-06-26) the whole document -----	1-17

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/CH2004/000584

Patent document cited in search report		Publication date		Patent family member(s)	Publication date
US 5631365	A	20-05-1997	AT AU AU CA CN CZ CZ DE DE DE DK EP ES FI GR HU IL JP JP KR MA NL NO NZ PL RU SG SK TW WO US US US ZA	180249 T 681445 B2 7795294 A 2172149 C 1131416 A , B 9600839 A3 288891 B6 10399001 I1 69418613 D1 69418613 T2 720599 T3 0720599 A1 2132432 T3 961300 A 3030312 T3 73852 A2 110956 A 2803908 B2 8509989 T 186853 B1 23332 A1 300132 I1 961133 A 274041 A 313589 A1 2138480 C1 46208 A1 35596 A3 427974 B 9508532 A1 RE37721 E1 5767115 A 5846966 A 9407086 A	15-06-1999 28-08-1997 10-04-1995 28-11-2000 18-09-1996 14-08-1996 12-09-2001 12-06-2003 24-06-1999 30-09-1999 08-11-1999 10-07-1996 16-08-1999 21-03-1996 30-09-1999 30-09-1996 11-01-2001 24-09-1998 22-10-1996 01-05-1999 01-04-1995 01-10-2003 20-03-1996 19-12-1997 08-07-1996 27-09-1999 20-02-1998 05-02-1997 01-04-2001 30-03-1995 28-05-2002 16-06-1998 08-12-1998 14-03-1995
EP 0524595	A	27-01-1993	AT AU AU BG CA CN CZ DE DE EE EP EP ES FI HU IE JP JP MX NO NZ OA SK	158789 T 658441 B2 2398092 A 61118 B2 2114007 A1 1069024 A 9400142 A3 69222532 D1 69222532 T2 9400342 A 0524595 A1 0596015 A1 2107548 T3 940296 A 67341 A2 922374 A1 2525125 B2 6508637 T 9204327 A1 940221 A 243669 A 9878 A 7994 A3	15-10-1997 13-04-1995 23-02-1993 29-11-1996 04-02-1993 17-02-1993 13-07-1994 06-11-1997 26-02-1998 15-04-1996 27-01-1993 11-05-1994 01-12-1997 21-01-1994 28-03-1995 27-01-1993 14-08-1996 29-09-1994 29-07-1994 21-01-1994 22-12-1994 15-09-1994 06-07-1994

Information on patent family members

International Application No
PCT/CH2004/000584

Patent document cited in search report	Publication date		Patent family member(s)	Publication date
EP 0524595	A		WO 9302048 A1 US 6093812 A US 5561227 A US 5688785 A US 5688787 A US 5306817 A ZA 9205487 A	04-02-1993 25-07-2000 01-10-1996 18-11-1997 18-11-1997 26-04-1994 31-03-1993
WO 0063703	A	26-10-2000	AU 4343500 A WO 0063703 A1 US 2003215869 A1 US 6593078 B1 US 2002009714 A1	02-11-2000 26-10-2000 20-11-2003 15-07-2003 24-01-2002
US 5633246	A	27-05-1997	US 5624920 A AT 213726 T AU 698750 B2 AU 4140196 A BR 9509669 A CA 2205202 A1 CN 1174548 A ,B CZ 9701486 A3 DE 69525643 D1 DE 69525643 T2 DK 792264 T3 EP 0792264 A1 ES 2169162 T3 FI 972099 A HK 1002558 A1 HU 77088 A2 JP 2908031 B2 JP 9512833 T KR 235806 B1 NO 972272 A NZ 296720 A PL 320092 A1 PT 792264 T RU 2159243 C2 SK 61697 A3 WO 9616037 A1 US 5744467 A	29-04-1997 15-03-2002 05-11-1998 17-06-1996 28-10-1997 30-05-1996 25-02-1998 12-11-1997 04-04-2002 26-09-2002 22-04-2002 03-09-1997 01-07-2002 16-05-1997 14-06-2002 02-03-1998 21-06-1999 22-12-1997 01-02-2000 16-05-1997 29-03-1999 15-09-1997 31-07-2002 20-11-2000 10-12-1997 30-05-1996 28-04-1998
WO 0250090	A	27-06-2002	AT 279425 T AU 3104902 A BR 0116212 A CA 2432798 A1 CN 1483039 T CZ 20031674 A3 EP 1347987 A1 HU 0302269 A2 JP 2004516299 T NO 20032806 A SK 7702003 A3 WO 0250090 A1 US 2002137690 A1 US 2003105028 A1	15-10-2004 01-07-2002 30-12-2003 27-06-2002 17-03-2004 15-10-2003 01-10-2003 28-10-2003 03-06-2004 19-08-2003 07-10-2003 27-06-2002 26-09-2002 05-06-2003
DE 3620467	A	02-01-1987	DE 3620467 A1	02-01-1987

Patent document cited in search report		Publication date		Patent family member(s)		Publication date
WO 03026643	A	03-04-2003	CA EP WO US	2460340 A1 1429756 A2 03026643 A2 2003119809 A1		03-04-2003 23-06-2004 03-04-2003 26-06-2003
US 5756470	A	26-05-1998	NONE			
WO 9716455	A	09-05-1997	AT AU AU BR CA CN CZ DE DE DK EP ES HK HU ID JP JP JP NO NZ PL PT SK TW WO ZA	219495 T 712158 B2 7517996 A 9611401 A 2235943 A1 1205707 A ,B 9801294 A3 69621952 D1 69621952 T2 877750 T3 0877750 A1 2175141 T3 1012507 A1 9802539 A2 16177 A 10512592 T 3385031 B2 2001048895 A 981950 A 321766 A 327987 A1 877750 T 48398 A3 448181 B 9716455 A1 9609089 A		15-07-2002 28-10-1999 22-05-1997 05-01-1999 09-05-1997 20-01-1999 14-10-1998 25-07-2002 16-01-2003 15-07-2002 18-11-1998 16-11-2002 18-10-2002 30-11-1998 11-09-1997 02-12-1998 10-03-2003 20-02-2001 26-06-1998 29-07-1999 04-01-1999 30-09-2002 04-11-1998 01-08-2001 09-05-1997 29-04-1997
US 2003119428	A1	26-06-2003	US US US	2003105028 A1 2003119757 A1 2003119796 A1		05-06-2003 26-06-2003 26-06-2003